

10 Rec'd PCT/PTC

24 JAN 2005

522,359

(12) INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(19) World Intellectual Property  
Organization  
International Bureau



(43) International Publication Date  
26 February 2004 (26.02.2004)

PCT

(10) International Publication Number  
WO 2004/016601 A1

(51) International Patent Classification<sup>7</sup>: C07D 277/68,  
417/12, A61K 31/428, A61P 29/00

(CH). FAIRHURST, Robin, Alec [GB/GB]; Novartis  
Horsham Research Centre, Wimblehurst Road, Horsham,  
West Sussex RH12 5AB (GB).

(21) International Application Number:  
PCT/EP2003/008824

(74) Agent: GRUBB, Philip; Novartis AG, Corporate Intel-  
lectual Property, CH-4002 Basel (CH).

(22) International Filing Date: 8 August 2003 (08.08.2003)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:  
0218629.4 9 August 2002 (09.08.2002) GB  
0220955.9 10 September 2002 (10.09.2002) GB

(81) Designated States (*national*): AE, AG, AL, AM, AT, AU,  
AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU,  
CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH,  
HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,  
LT, LU, LV, MA, MD, MK, MN, MX, NI, NO, NZ, OM,  
PG, PH, PL, PT, RO, RU, SC, SE, SG, SK, SY, TJ, TM,  
TN, TR, TT, UA, US, UZ, VC, VN, YU, ZA, ZW.

(71) Applicant (*for all designated States except AT, US*): NO-  
VARTIS AG [CH/CH]; Lichtstrasse 35, CH-4056 Basel  
(CH).

(84) Designated States (*regional*): Eurasian patent (AM, AZ,  
BY, KG, KZ, MD, RU, TJ, TM), European patent (AT, BE,  
BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU,  
IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR).

(71) Applicant (*for AT only*): NOVARTIS PHARMA GMBH  
[AT/AT]; Brunner Strasse 59, A-1230 Vienna (AT).

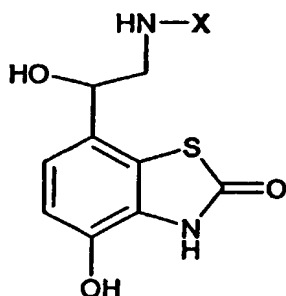
Published:  
— with international search report

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): CUENOUD,  
Bernard [CH/CH]; Rue Volaire 7, CH-1005 Lausanne

For two-letter codes and other abbreviations, refer to the "Guid-  
ance Notes on Codes and Abbreviations" appearing at the begin-  
ning of each regular issue of the PCT Gazette.

(54) Title: BENZOTHAIAZOLE DERIVATIVES HAVING BETA-2-ADRENORECEPTOR AGONIST ACTIVITY



(I)

(57) Abstract: Compounds of Formula (I) in free or salt or solvate form, wherein X has the meaning indicated in the specification, are useful for treating conditions that are prevented or alleviated by activation of the  $\beta_2$ -adrenoreceptor. Pharmaceutical compositions that contain the compounds and processes for preparing the compounds are also described.

WO 2004/016601 A1

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/08824

## A. CLASSIFICATION OF SUBJECT MATTER

IPC 7 C07D277/68 D417/12 A61K31/428 A61P29/00

According to International Patent Classification (IPC) or to both national classification and IPC

## B. FIELDS SEARCHED

Minimum documentation searched (classification system followed by classification symbols)

IPC 7 C07D A61K

Documentation searched other than minimum documentation to the extent that such documents are included in the fields searched

Electronic data base consulted during the international search (name of data base and, where practical, search terms used)

CHEM ABS Data, EPO-Internal, PAJ, WPI Data

## C. DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
X	SUZUKI, H. ET AL: "The effects of S1319, a novel marine sponge-derived beta.2-adrenoceptor agonist, on IgE-mediated activation of human cultured mast cells" INFLAMMATION RESEARCH (2000),49(2), 86-94, XP002258317 the whole document	1-6,9-14
X	SUZUKI H ET AL: "S1319: a novel beta2-adrenoceptor agonist from a marine sponge Dysidea sp" BIOORGANIC & MEDICINAL CHEMISTRY LETTERS, OXFORD, GB, vol. 9, no. 10, 17 May 1999 (1999-05-17), pages 1361-1364, XP004164892 ISSN: 0960-894X the whole document	1-6,9-14

-/--

☒ Further documents are listed in the continuation of box C:☒ Patent family members are listed in annex:

## \* Special categories of cited documents:

- \*A\* document defining the general state of the art which is not considered to be of particular relevance
- \*E\* earlier document but published on or after the International filing date
- \*L\* document which may throw doubts on priority claim(s) or which is cited to establish the publication date of another citation or other special reason (as specified)
- \*O\* document referring to an oral disclosure, use, exhibition or other means
- \*P\* document published prior to the international filing date but later than the priority date claimed

- \*T\* later document published after the International filing date or priority date and not in conflict with the application but cited to understand the principle or theory underlying the invention
- \*X\* document of particular relevance; the claimed invention cannot be considered novel or cannot be considered to involve an inventive step when the document is taken alone
- \*Y\* document of particular relevance; the claimed invention cannot be considered to involve an inventive step when the document is combined with one or more other such documents, such combination being obvious to a person skilled in the art.
- \*Z\* document member of the same patent family

Date of the actual completion of the international search

17 October 2003

Date of mailing of the international search report

03/11/2003

Name and mailing address of the ISA

European Patent Office, P.B. 5818 Patentlaan 2  
 NL - 2280 HV Rijswijk  
 Tel. (+31-70) 340-2040, Tx. 31 651 epo nl,  
 Fax: (+31-70) 340-3016

Authorized officer

Schmid, J-C

## INTERNATIONAL SEARCH REPORT

International Application No.

PCT/EP 03/08824

## C.(Continuation) DOCUMENTS CONSIDERED TO BE RELEVANT

Category *	Citation of document, with indication, where appropriate, of the relevant passages	Relevant to claim No.
A	US 5 648 370 A (BONNERT, ROGER V. ET AL) 15 July 1997 (1997-07-15) the whole document -----	1-14

## INTERNATIONAL SEARCH REPORT

Information on patent family members

International Application No.

PCT/EP 03/08824

Patent document cited in search report		Publication date	Patent family member(s)		Publication date
US 5648370	A	15-07-1997	US	5763465 A	09-06-1998
			DE	69321835 D1	03-12-1998
			DE	69321835 T2	12-05-1999
			DK	649418 T3	12-07-1999
			EP	0649418 A1	26-04-1995
			FI	945538 A	25-11-1994
			JP	3094452 B2	03-10-2000
			JP	8503923 T	30-04-1996
			NO	944509 A	24-11-1994
			PL	172904 B1	31-12-1997
			PL	172807 B1	28-11-1997
			SK	143394 A3	07-06-1995
			AT	204263 T	15-09-2001
			DE	69132689 D1	20-09-2001
			DE	69132689 T2	27-06-2002
			DK	558677 T3	12-11-2001
			EP	0558677 A1	08-09-1993
			ES	2163396 T3	01-02-2002
			JP	6502635 T	24-03-1994
			AU	8912891 A	11-06-1992
			WO	9208708 A1	29-05-1992
			IE	914003 A1	20-05-1992
			JP	2000290240 A	17-10-2000
			PT	99563 A ,B	30-10-1992
			SG	47947 A1	17-04-1998
			AT	172726 T	15-11-1998
			AU	4334393 A	30-12-1993
			CA	2136553 A1	09-12-1993
			CN	1085899 A ,B	27-04-1994
			CZ	9402916 A3	15-03-1995
			ES	2123652 T3	16-01-1999
			WO	9324473 A1	09-12-1993
			HU	71124 A2	28-11-1995
			HU	9500462 A3	29-01-1996
			IL	105801 A	10-01-1997
			LV	12443 A	20-03-2000
			LV	12443 B	20-06-2000
			MX	9303145 A1	30-06-1994
			NZ	253190 A	21-12-1995
			RU	2114108 C1	27-06-1998
			SG	48068 A1	17-04-1998
			ZA	9303648 A	25-11-1993
			WO	9323385 A1	25-11-1993